

(19) World Intellectual Property
Organization
International Bureau



P031261-VE



(43) International Publication Date
9 June 2005 (09.06.2005)

PCT

(10) International Publication Number
WO 2005/051896 A1

(51) International Patent Classification⁷: **C07C 243/06**,
255/63, 317/36, A61K 31/275, 31/165, 31/135

(74) Common Representative: **MERCK PATENT GMBH**;
Frankfurter Strasse 250, 64293 Darmstadt (DE).

(21) International Application Number:
PCT/EP2004/014892

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(22) International Filing Date:
17 November 2004 (17.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03/13953 27 November 2003 (27.11.2003) FR

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (for all designated States except US): **MERCK
PATENT GMBH** [DE/DE]; Frankfurter Strasse 250,
64293 Darmstadt (DE).

(72) Inventors; and

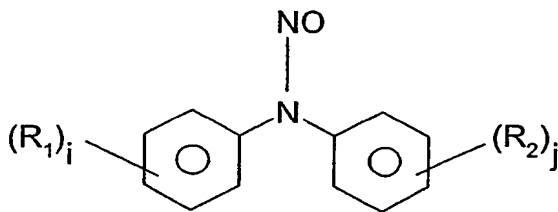
(75) Inventors/Applicants (for US only): **LARDY, Claude**
[FR/FR]; 84 Boulevard Ambroise Courtois, F-69008 Lyon
(FR). **GUEDAT, Philippe** [FR/FR]; 5 Chemin La Verne,
F-01600 Toussieu (FR). **CAPUTO, Lidia** [FR/FR]; 60
Boulevard des Belges, F-69006 Lyon (FR).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: NITROSO DERIVATIVES OF DIPHENYLAMINE



(I)

(57) Abstract: The invention relates to a compound of the formula (I) in which: - R₁ represents, independently of each other, a halogen atom; an aliphatic hydrocarbon-based group optionally substituted and/or optionally interrupted by one or more oxygen or sulfur atoms; a nitro group; a cyano group; an amino group; a mono- or dialkylamino group; an alkylcarbonyl group; a carboxyl group; an alkylcarbonylamino group; an alkylsulfonyl group; - R₂ represents, independently of each other, a cyano group; a hydroxyl group; an alkylcarbonyl group; a carboxyl group; an alkoxycarbonyl group; an unsubstituted amide group; or a linear or branched alkyl group substituted by a cyano, hydroxyl, carboxyl, alkoxycarbonyl or unsubstituted amide group; - i and j independently being 1 to 5, with the exclusion of the compound for which i and j = 1 and R₁ = carboxyl and R₂ = alkoxycarbonyl or R₁ = CF₃ and R₂ = carboxyl, and also the pharmaceutically acceptable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all proportions.